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<p><b>(54) Title:</b> SULFONYLUREAS AS HERBICIDES</p> <div style="text-align: center; margin: 20px 0;"> </div> <p style="text-align: right; margin-right: 50px;">(I)</p>		
<p><b>(57) Abstract</b></p> <p>The invention relates to compounds of formula (I) in which E is -CH= or -N=, and with the proviso that a) at least one of the radicals R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, b) E is -CH= when X is halogen and c) E is -CH= when X or Y are -OCHF<sub>2</sub> or -SCHF<sub>2</sub>, and in which the meanings of the other substituents are given in the description, and to their use for controlling weeds, or for regulating and inhibiting the growth of plants.</p>		

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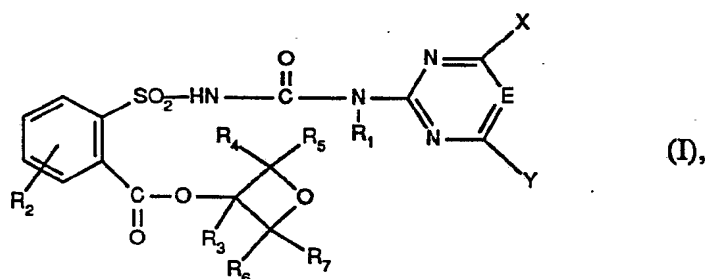
## Sulfonylureas as herbicides

The present invention relates to novel herbicidally active and plant-growth-regulating N-phenylsulfonyl-N'-pyrimidinyl- and N'-triazinylureas, to processes for their preparation, to compositions containing them as active ingredients, and to the use of these compositions for controlling weeds, especially selectively in crops of useful plants, or for regulating and inhibiting the growth of plants.

Urea compounds, triazine compounds and pyrimidine compounds which have herbicidal activity are generally known. Such compounds are described, for example, in European Patent Applications Nos. 0 007 687, 0 030 138, 0 073 562 and 0 126 711.

Novel sulfonylureas which have herbicidal and plant-growth-regulating properties have now been found.

The N-phenylsulfonyl-N'-pyrimidinyl- and N'-triazinylureas according to the invention are those of the formula I



in which

R<sub>1</sub> is hydrogen or methyl;

R<sub>2</sub> is hydrogen, fluorine, chlorine, bromine, iodine, (W)<sub>n</sub>-R<sub>8</sub>, -NO<sub>2</sub>, -N(R<sub>9</sub>)R<sub>10</sub>,

-O-  
|  
R<sub>12</sub> C≡CR<sub>11</sub> or -CN;

R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> independently of one another are hydrogen or C<sub>1</sub>-C<sub>4</sub>alkyl;

R<sub>8</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkyl which is substituted by 1, 2, 3 or 4 halogen atoms,

C<sub>1</sub>-C<sub>3</sub>alkoxy or C<sub>1</sub>-C<sub>3</sub>alkylthio, or is C<sub>2</sub>-C<sub>4</sub>alkenyl or C<sub>2</sub>-C<sub>4</sub>alkenyl which is substituted

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by 1, 2, 3 or 4 halogen atoms;

R<sub>9</sub> is hydrogen, methoxy, ethoxy or C<sub>1</sub>-C<sub>4</sub>alkyl;

R<sub>10</sub> is hydrogen or C<sub>1</sub>-C<sub>4</sub>alkyl;

R<sub>11</sub> is hydrogen, methyl or ethyl;

R<sub>12</sub> is hydrogen or methyl;

E is -CH= or -N=;

X is C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>4</sub>haloalkoxy, C<sub>1</sub>-C<sub>4</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>haloalkylthio, C<sub>1</sub>-C<sub>4</sub>alkylthio, halogen, C<sub>2</sub>-C<sub>5</sub>alkoxyalkyl, C<sub>2</sub>-C<sub>5</sub>alkoxyalkoxy, amino, C<sub>1</sub>-C<sub>3</sub>alkylamino or di-(C<sub>1</sub>-C<sub>3</sub>alkyl)amino;

Y is C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>4</sub>haloalkoxy, C<sub>1</sub>-C<sub>4</sub>haloalkylthio, C<sub>1</sub>-C<sub>4</sub>alkylthio, C<sub>2</sub>-C<sub>5</sub>alkoxyalkyl, C<sub>2</sub>-C<sub>5</sub>alkoxyalkoxy, C<sub>2</sub>-C<sub>5</sub>alkylthioalkyl, cyclopropyl or -OCHF<sub>2</sub>;

W is oxygen, sulfur, SO or SO<sub>2</sub>; and

n is the number 0 or 1;

and the salts of these compounds;

with the proviso that

a) at least one of the radicals R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl,

b) E is -CH= when X is halogen and

c) E is -CH= when X or Y are -OCHF<sub>2</sub> or -SCHF<sub>2</sub>.

Suitable for X as halogen are: fluorine, chlorine, bromine and iodine, preferably fluorine, chlorine and bromine.

Suitable for R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, X and Y as C<sub>1</sub>-C<sub>4</sub>alkyl are: methyl, ethyl, n-propyl, iso-propyl, n-butyl, sec-butyl, iso-butyl or tert-butyl. The alkyl groups preferably have 1-3 carbon atoms.

Suitable for R<sub>8</sub> as C<sub>1</sub>-C<sub>4</sub>alkyl which is substituted by one to four halogen atoms is, in particular, alkyl which is substituted by fluorine, chlorine, bromine or iodine. Preferred amongst these halogen-substituted C<sub>1</sub>-C<sub>4</sub>alkyl groups are alkyl groups which are mono- to trisubstituted by halogen, in particular fluorine or chlorine, for example fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2,2,2-trifluoroethyl, 2-fluoroethyl, 2-chloroethyl and 2,2,2-trichloroethyl; preferably difluoromethyl and trifluoromethyl.

Suitable for R<sub>8</sub> as C<sub>1</sub>-C<sub>4</sub>alkyl which is substituted by C<sub>1</sub>-C<sub>3</sub>alkylthio are, for example: methylthioethyl, ethylthioethyl, propylthioethyl, isopropylthiomethyl, preferably

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methylthiomethyl and ethylthioethyl.

Suitable for X as C<sub>1</sub>-C<sub>4</sub>haloalkyl is, in particular, alkyl which is substituted by fluorine, chlorine, bromine or iodine. Preferred amongst these radicals are alkyl groups which are mono- to trisubstituted by halogen, in particular fluorine or chlorine, for example fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2,2,2-trifluoroethyl, 2-fluoroethyl, 2-chloroethyl and 2,2,2-trichloroethyl; preferably difluoromethyl and trifluoromethyl.

Suitable for X and Y as C<sub>1</sub>-C<sub>4</sub>alkoxy are, for example, methoxy, ethoxy, propyloxy, i-propyloxy, n-butyloxy, iso-butyloxy, sec-butyloxy and tert-butyloxy; preferably methoxy and ethoxy.

Suitable for X and Y as C<sub>1</sub>-C<sub>4</sub>haloalkoxy are, for example, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, 1,1,2,2-tetrafluoroethoxy, 2-fluoroethoxy, 2-chloroethoxy and 2,2-difluoroethoxy; preferably difluoromethoxy and trifluoromethoxy.

Suitable for X and Y as C<sub>2</sub>-C<sub>5</sub>alkoxyalkyl and for R<sub>8</sub> as C<sub>1</sub>-C<sub>3</sub>alkoxy-C<sub>1</sub>-C<sub>4</sub>alkyl are, for example, methoxymethyl, methoxyethyl, ethoxymethyl, ethoxyethyl or propyloxymethyl.

Suitable for R<sub>8</sub> as C<sub>2</sub>-C<sub>4</sub>alkenyl is straight-chain or branched alkenyl, for example vinyl, allyl, methallyl, 1-methylvinyl or but-2-en-1-yl; alkenyl radicals having a chain length of 2 to 3 carbon atoms are preferably suitable.

Suitable for R<sub>8</sub> as C<sub>2</sub>-C<sub>4</sub>alkenyl which is substituted by one to four halogen atoms is, for example: 3,3-difluorobut-2-en-1-yl.

Suitable for X and Y as C<sub>1</sub>-C<sub>4</sub>alkylthio are, for example: methylthio, ethylthio, propylthio, isopropylthio, n-butylthio, iso-butylthio, sec-butylthio or tert-butylthio, preferably methylthio and ethylthio.

Suitable for X and Y as C<sub>1</sub>-C<sub>4</sub>haloalkylthio is, in particular, alkylthio which is substituted by fluorine, chlorine, bromine or iodine. Preferred amongst these are alkylthio groups which are mono- to trisubstituted by halogen, in particular fluorine or chlorine, for example fluoromethylthio, difluoromethylthio, trifluoromethylthio, chloromethylthio, dichloromethylthio or trichloromethylthio.

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Suitable for Y as C<sub>2</sub>-C<sub>5</sub>alkylthioalkyl are, for example: methylthioethyl, ethylthioethyl, propylthioethyl or isopropylthiomethyl, preferably methylthiomethyl and ethylthioethyl.

Suitable for X and Y as C<sub>2</sub>-C<sub>5</sub>alkoxyalkoxy are, for example: methoxymethoxy, methoxyethoxy, methoxypropyloxy, ethoxymethoxy, ethoxyethoxy and propyloxymethoxy.

Suitable for X as C<sub>1</sub>-C<sub>3</sub>alkylamino are, for example, methylamino, ethylamino, n-propylamino or iso-propylamino. Di(C<sub>1</sub>-C<sub>3</sub>alkyl)amino as radical X is, for example, dimethylamino, methylethylamino, diethylamino or n-propylmethylamino.

The invention also embraces the salts which the compounds of the formula I can form with amines, alkali metal bases or alkaline earth metal bases or quaternary ammonium bases.

Preferred alkali metal hydroxides and alkaline earth metal hydroxides as salt-forming substances are lithium hydroxide, sodium hydroxide, potassium hydroxide, magnesium hydroxide or calcium hydroxide, but in particular sodium hydroxide or potassium hydroxide.

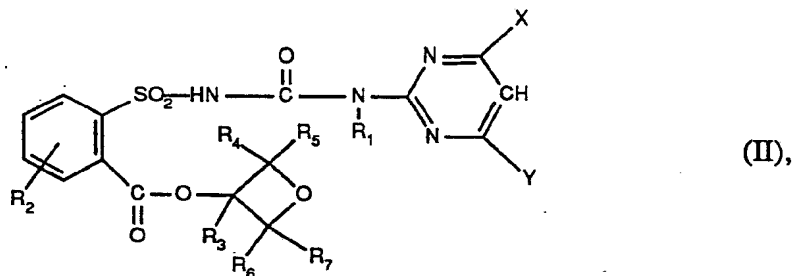
Examples of amines which are suitable for salt formation are primary, secondary and tertiary aliphatic and aromatic amines such as methylamine, ethylamine, n-propylamine, iso-propylamine, the four isomeric butylamine radicals, n-amylamine, iso-amylamine, hexylamine, heptylamine, octylamine, nonylamine, decylamine, pentadecylamine, hexadecylamine, heptadecylamine, octadecylamine, methylethylamine, methylisopropylamine, methylhexylamine, methylnonylamine, methylpentadecylamine, methyloctadecylamine, ethylbutylamine, ethylheptylamine, ethyloctylamine, hexylheptylamine, hexyloctylamine, dimethylamine, diethylamine, di-n-propylamine, di-iso-propylamine, di-n-butylamine, di-n-amylamine, di-iso-amylamine, dihexylamine, diheptylamine, dioctylamine, ethanolamine, n-propanolamine, iso-propanolamine, N,N-diethylethanolamine, N-ethylpropanolamine, N-butylethanolamine, allylamine, n-butenyl-2-amine, n-pentenyl-2-amine, 2,3-dimethylbutenyl-2-amine, di-butenyl-2-amine, n-hexenyl-2-amine, propylenediamine, diethanolamine, trimethylamine, triethylamine, tri-n-propylamine, tri-iso-propylamine, tri-n-butylamine, tri-iso-butylamine, tri-sec-butylamine, tri-n-amylamine; heterocyclic amines, for example pyridine, quinoline, iso-quinoline, morpholine, piperidine, pyrrolidine, indoline,

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quinuclidine and azepine; primary arylamines, for example anilines, methoxyanilines, ethoxyanilines, o,m,p-toluidines, phenylenediamines, benzidines, naphthylamines and o,m,p-chloroanilines; but in particular ethyl-, propyl-, diethyl- or triethylamine, but especially iso-propylamine and diethanolamine.

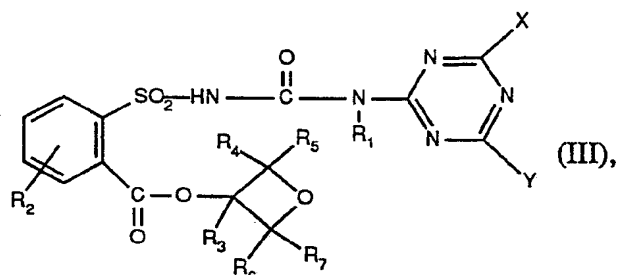
As a rule, examples of quaternary ammonium bases are the cations of haloammonium salts, for example the tetramethylammonium cation, the trimethylbenzylammonium cation, the triethylbenzylammonium cation, the tetraethylammonium cation, the trimethylethylammonium cation, but also the ammonium cation.

Preferred compounds of the formula II



are those in which  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ ,  $X$  and  $Y$  are as defined in formula I.

Other preferred compounds are those of the formula III



in which  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ ,  $X$  and  $Y$  as as defined in formula I.

Particularly preferred compounds of the formulae I, II and III are those in which  $R_2$  is hydrogen.

Other particularly preferred compounds of the formulae I, II and III are those in which  $R_3$  is hydrogen.

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Especially preferred compounds of the formulae I, II and III are those in which  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  are hydrogen.

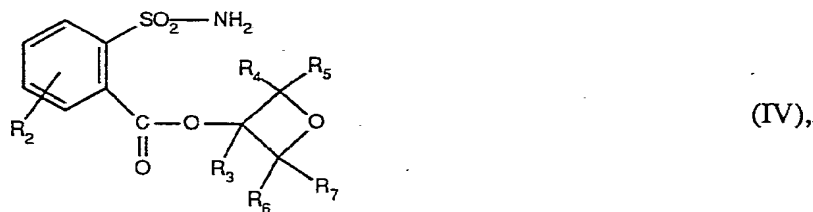
Particularly important compounds of the formulae I, II and III are those in which  $R_1$  is hydrogen, in particular those in which  $R_1$  and  $R_2$ ,  $R_1$  and  $R_3$ ,  $R_1$ ,  $R_2$  and  $R_3$  or  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  are hydrogen.

Other interesting compounds of the formula I are those in which  $R_1$  and  $R_2$  are hydrogen,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  independently of one another are hydrogen or methyl, E is  $-\text{CH}=\text{}$  or  $-\text{N}=\text{}$ , X is methyl, methoxy, methylamino, dimethylamino, difluoromethoxy or chlorine and Y is methyl, methoxy, difluoromethoxy, ethoxy or cyclopropyl, with the proviso that

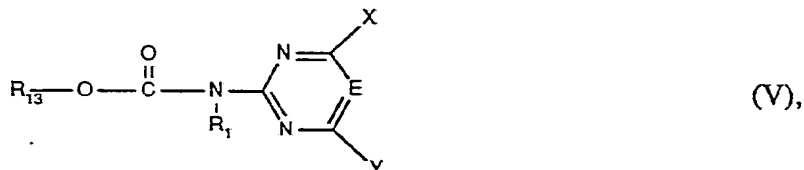
- at least one of the radicals  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  is methyl,
- E is  $-\text{CH}=\text{}$  when X is chlorine and
- E is  $-\text{CH}=\text{}$  when X or Y is  $-\text{OCHF}_2$ .

The compounds of the formula I can be prepared either by

a) reacting a phenylsulfonamide of the formula IV



in which  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  are as defined in formula I, with a pyrimidinyl carbamate or triazinyl carbamate of the formula V

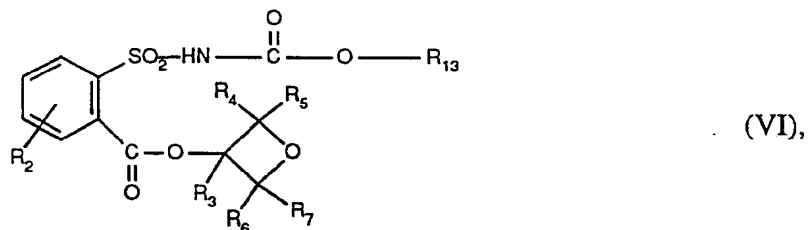


in which  $R_1$ , E, X and Y are as defined in formula I and  $R_{13}$  is phenyl or phenyl which is substituted by  $\text{C}_1$ - $\text{C}_4$ alkyl or halogen, in the presence of a base, or

b) reacting a sulfonylcarbamate of the formula VI



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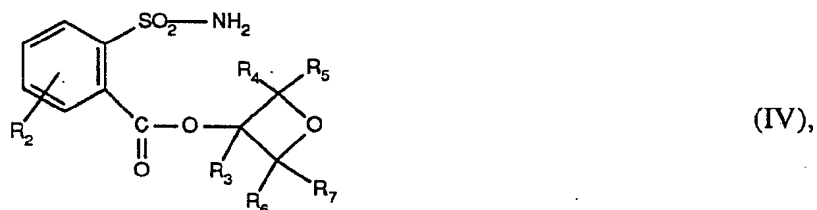


in which  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  are as defined in formula I and  $R_{13}$  is as defined in formula V, with an amine of the formula VII



in which  $R_1$ , E, X and Y are as defined in formula I, in the presence of a base, or

c) reacting a phenylsulfonamide of the formula IV

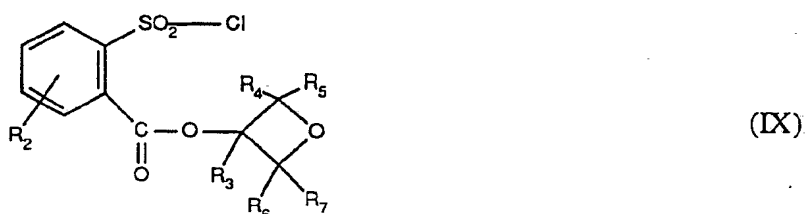


in which  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  are as defined in formula I, with a pyrimidinyl isocyanate or triazinyl isocyanate of the formula VIII



in which E, X and Y are as defined in formula I, in the presence of a base.

Compounds of the formula I can also be prepared by reacting a compound of the formula IX



in which  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  are as defined in formula I with a compound of the

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formula VII in the presence of an ammonium, phosphonium, sulfonium or alkali metal cyanate salt of the formula X



in which M is an alkali metal or the group  $R_{15}R_{16}R_{17}R_{18}Q$ , in which  $R_{15}$ ,  $R_{16}$ ,  $R_{17}$  and  $R_{18}$  independently of one another are  $C_1$ - $C_{18}$ alkyl, benzyl or phenyl, the total number of C atoms not being greater than 36; and Q is nitrogen, sulfur or phosphorus. Such reactions are described in Swiss Patent Specification 662 348.

The reactions which give compounds of the formula I are advantageously carried out in aprotic, inert organic solvents. Such solvents are hydrocarbons such as benzene, toluene, xylene or cyclohexane, chlorinated hydrocarbons such as dichloromethane, trichloromethane, tetrachloromethane or chlorobenzene, ethers such as diethyl ether, ethylene glycol dimethyl ether, diethylene glycol dimethyl ether, tetrahydrofuran or dioxane, nitriles such as acetonitrile or propionitrile, amides such as dimethylformamide, diethylformamide, or N-methylpyrrolidinone. The reaction temperatures are preferably between  $-20^\circ$  and  $+120^\circ\text{C}$ .

As a rule, the reactions are slightly exothermic and can be carried out at room temperature. To shorten the reaction time or else to start up the reaction, it is expedient to heat the reaction mixture briefly up to its boiling point. The reaction times can also be shortened by adding a few drops of base as reaction catalyst. Suitable bases are, in particular, tertiary amines such as trimethylamine, triethylamine, quinuclidine, 1,4-diazabicyclo-(2.2.2)-octane, 1,5-diazabicyclo(4.3.0)non-5-ene or 1,5-diazabicyclo(5.4.0)undec-7-ene. Alternatively, inorganic bases such as hydrides, such as sodium hydride or calcium hydride, hydroxides such as sodium hydroxide and potassium hydroxide, carbonates such as sodium carbonate and potassium carbonate or hydrogen carbonates such as potassium hydrogen carbonate or sodium hydrogen carbonate, can also be used as bases.

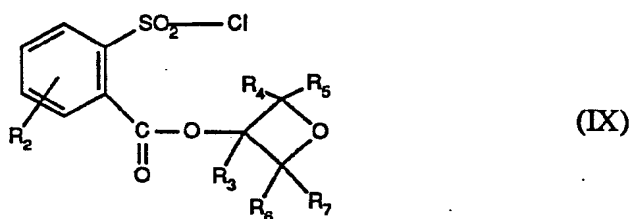
The end products of the formula I can be isolated by concentration and/or evaporation of the solvent and purified by recrystallisation or trituration of the solid residue in solvents in which they are not freely soluble, such as ethers, aromatic hydrocarbons or chlorinated hydrocarbons.

In the above-described preparation processes of the compounds of the formula I,  $R_{13}$  is

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preferably phenyl which can be substituted by C<sub>1</sub>-C<sub>4</sub>alkyl or halogen, especially preferably phenyl.

The phenylsulfonamides of the formula IV are novel compounds which were developed and prepared specifically for the preparation of the active ingredients of the formula I. They are therefore also part of the present invention. The same preferred ranges for R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> which have been mentioned above in the case of compounds of the formula I apply to the compounds of the formula IV. They can be prepared from the corresponding phenylsulfonyl chlorides of the formula IX



in which R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> are as defined in formula I, by reaction with ammonia. Such reactions are known and familiar to a person skilled in the art.

The phenylsulfonyl chlorides of the formula IX are novel compounds which were developed and prepared specifically for the preparation of the active ingredients of the formula I. They are therefore also part of the present invention. The same preferred ranges for R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> which have been mentioned above in the case of the compounds of the formula I apply to the intermediates of the formula IX. The phenylsulfonyl chlorides of the formula IX are prepared by reacting the suitably substituted 2-chlorosulfonylbenzoyl chlorides (see, for example, D. Davis, Soc. 2042, 2044 (1932)) with a compound of the formula XI



in which R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> are as defined in formula I, in the presence of a base. Such reactions are known and familiar to a person skilled in the art.

Phenylsulfonyl chlorides of the formula IX can also be prepared by reacting 2-isopropylthiobenzoic acid (see, for example, H. Gilman, F.J. Webb, Am. Soc. 71, 4062-4063) with thionyl chloride to give the corresponding benzoyl chloride, which is

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subsequently converted with a compound of the formula (XI) in the presence of a base to give the corresponding oxetan-3-yl 2-isopropylthiobenzoate, whereupon reaction with chlorine finally gives the sulfonyl chloride of the formula IX. Such reactions are known and familiar to a person skilled in the art.

Compounds of the formula XI and the preparation thereof are known (see, for example, J. Am. Chem. Soc. 112, 3535 - 3539 (1990); Bull. Chem. Soc. Japan 62, 2032 (1989); Acta Chem. Scand. 28, 701 (1974); Tetrahedron Letters 30, 2505 - 2508 (1969); J. Am. Chem. Soc. 77, 4430 (1955)).

The sulfonylcarbamates of the formula VI are novel and part of the present invention. The preferred ranges for R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> which have been mentioned above in the case of the compounds of the formula I apply to the intermediates of the formula VI. They can be obtained, for example, by reacting the sulfonamides of the formula IV with diphenyl carbamate in the presence of a base. Such reactions are known and familiar to a person skilled in the art.

The amines of the formula VII are described in European Patent Applications Nos. 0 007 687, 0 030 138, 0 073 562 and 0 126 711 and in US Patent 4 579 584.

Processes for the preparation of N-pyrimidinyl- and N-triazinylcarbamates are described, for example, in EP-A-0 101 670.

As a rule, the active ingredients of the formula I are applied successfully at application rates of 0.001 to 2 kg/ha, in particular 0.005 to 1 kg/ha. The dosage rate required for the desired action can be determined by experiments. It depends on the type of action, the development stage of the crop plant and of the weed and on the application (location, time, method) and, due to these parameters, can vary within wide ranges.

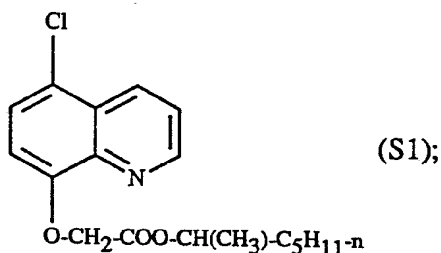
The compounds of the formula I are distinguished by growth-inhibiting and herbicidal properties which make them outstandingly suitable for use in crops of useful plants, in particular in cereals, cotton, soya beans, oilseed rape, maize and rice, their use in soya bean crops and cereals being especially preferred. Weeds in soya bean crops are preferably controlled postemergence. The compounds of the formula I are particularly distinguished by their good degradability.

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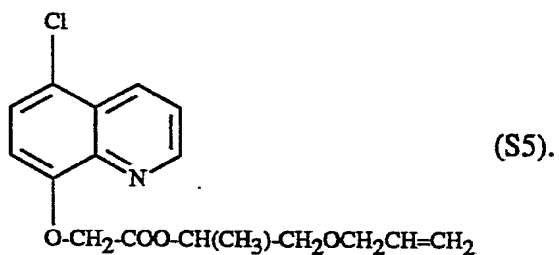
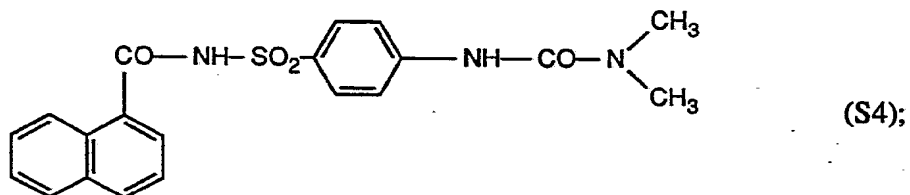
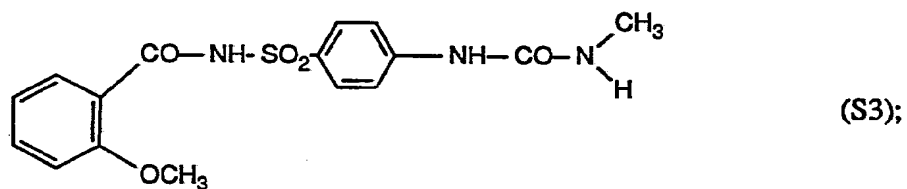
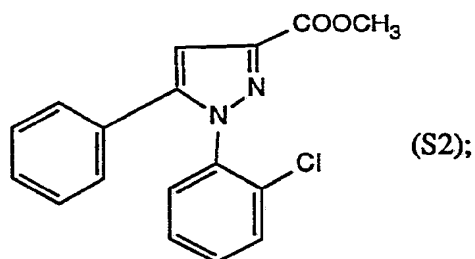
Surprisingly, it has emerged that the compounds of the formula I and further compounds of the formula I, wherein  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  simultaneously are hydrogen, respond well to specific classes of safeners. These safeners or antidotes are capable of protecting the crop plants against damage caused by the herbicide (for example in the case of an unintentional overdose). These antidotes are furthermore capable of preventing damage to crop plants when, in connection with crop rotation, herbicide-resistant crop plants are followed by other crop plants which have no, or only insufficient, resistance to the herbicides. The present invention therefore also relates to a selective herbicidal composition for controlling grasses and weeds in crops of useful plants which is composed of a herbicide of the formula I or a herbicide of the formula I, wherein  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  simultaneously are hydrogen, and a safener (antagonist, antidote) which protects the crop plants, but not the weeds, against the phytotoxic action of the herbicide, and to the use of this composition, or of the combination of herbicide and safener, for weed control in crops of useful plants.

The safeners used in the composition according to the invention belong to the classes of the quinolin-8-oxyacetic acid derivatives as they are disclosed, for example, in EP-A-0 492 366 and EP-A 0 094 349, the diphenylcarboxylic acid derivatives as they are disclosed, for example, in EP-A-0 268 554, and the sulfamoylphenylurea derivatives as they are disclosed, for example, in EP-A-0 365 484.

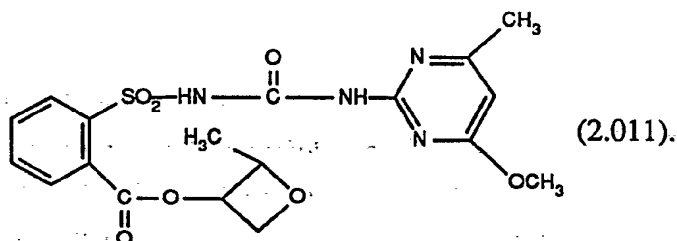
The safeners of the formulae S1 to S5 are particularly preferred for use in the composition according to the invention:



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Particularly preferred compositions which comprise a safener of the formulae S1, S2, S3, S4 and S5 are those in which the herbicide of the formula I used is the compound of the formula 2.011



Depending on the intended use, a safener or antidote can be used for pretreating the seed of the crop plant (seed dressing or treatment of the cuttings) or introduced into the soil before or after sowing. Alternatively, it can be applied as pure active ingredient or together with the herbicide before or after emergence of the plants. The plant or the seed can therefore be treated with the safener essentially independently of the point in time of application of the phytotoxic chemical. Alternatively, the plant can be treated by simultaneous application of phytotoxic chemical and safener (tank mix). Preemergence treatment includes treatment of the area under cultivation before sowing and treatment of the areas under cultivation where seed has been sown but the plants are yet to emerge.

The application rate of safener to be applied relative to the herbicide depends largely on the method of application. As a rule, field treatment, which is effected either using a tank mix of a combination of safener and herbicide or by separate application of safener and herbicide, requires a ratio of safener to herbicide of 1:100 to 1:1, preferably 1:20 to 1:1, in particular 1:1. In contrast, seed dressing requires much smaller amounts of safener relative to the application rate of herbicide per hectare of area under cultivation.

As a rule, 0.001 to 5.0 kg of safener/ha, preferably 0.005 to 0.5 kg of safener/ha, are applied in the case of field treatment.

The application rates of herbicide are, as a rule, between 0.001 and 2 kg/ha, but preferably between 0.001 and 0.5 kg/ha.

As a rule, 0.001 to 10 g of safener/kg of seed, preferably 0.05 to 2 g of safener/kg of seed, are applied in the case of seed dressing. If the safener is applied in liquid form for seed soaking briefly before sowing, it is expedient to use safener solutions which comprise the active ingredient at a concentration of from 1 to 10 000, preferably from 100 to 1000,

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ppm.

For application purposes, the safeners, or combination of safeners, used according to the invention together with the herbicides to be antagonised are expediently employed together with the auxiliaries conventionally used in the art of formulation, which have already been mentioned above in connection with application of the compounds of the formula I.

The invention also relates to herbicidal and plant-growth-regulating compositions which comprise a novel active ingredient of the formula I, and to methods for inhibiting the growth of plants. Plant growth regulators are substances which cause agronomically desirable biochemical and physiological and/or morphological modifications in/on the plant.

The active ingredients comprised in the compositions according to the invention affect plant growth in many ways, depending on the point in time of application, the dosage rate, the type of application and the prevailing environment. For example, plant growth regulators of the formula I can inhibit the vegetative growth of plants. This type of action is of interest on lawns, in the production of ornamentals, in fruit plantations, on verges, on sportsgrounds and industrial terrain, but also in the targeted inhibition of secondary shoots, such as in tobacco. In arable farming, inhibition of the vegetative growth in cereals by strengthening the stems results in reduced lodging, and similar agronomic effects are achieved in oilseed rape, sunflowers, maize and other crop plants. Furthermore, inhibition of the vegetative growth means that the number of plants per area can be increased. Another field in which growth inhibitors can be applied is the selective control of ground-cover plants in plantations or crops with plenty of space between the rows, by powerful growth inhibition without destroying these cover crops, so that competition with the main crop is eliminated, but the agronomically positive effects such as prevention of erosion, nitrogen fixation and loosening of the soil, are retained.

A method for inhibiting plant growth is understood as meaning controlling the natural development of the plant without altering the life cycle of the plant, which is determined by its genetic make-up, in the sense of a mutation. The method of growth regulation is applied at a particular point in time of the development of the plant, which is to be determined in the particular case. The active ingredients of the formula I can be applied before or after emergence of the plants, for example already to the seeds or seedlings, to



roots, tubers, stalks, leaves, flowers or other parts of the plant. This can be effected, for example, by applying the active ingredient, as pure active ingredient or in the form of a composition, to the plants and/or by treating the nutrient substrate of the plant (soil).

Various methods and techniques are suitable for using the compounds of the formula I or compositions containing them for regulating plant growth, for example the following:

i) Seed dressing

a) Dressing of the seeds with an active ingredient formulated as wettable powder by shaking in a container until the seed surface is uniformly covered (dry seed dressing). Up to 4 g of active ingredient of the formula I are used per kg of seed (up to 8.0 g of wettable powder in the case of a 50 % formulation).

b) Dressing of the seeds with an emulsion concentrate of the active ingredient or with an aqueous solution of the active ingredient of the formula I formulated as a wettable powder, using method a) (wet seed dressing).

c) Dressing by immersing the seeds in a liquor containing up to 1000 ppm of active ingredient of the formula I for 1 to 72 hours, if desired followed by drying the seeds (seed soaking).

Naturally, seed dressing or treatment of the germinated seedling are the preferred application methods since the treatment with active ingredient is directed entirely at the target crop. As a rule, 0.001 g to 4.0 g of active ingredient are used per kg of seed, but it is possible to deviate from the limit concentrations given in both directions, depending on the method chosen, which also makes possible the addition of other active ingredients or micronutrients (repeated seed treatment).

ii) Controlled release of active ingredient

The dissolved active ingredient is applied to mineral granule carriers or polymerised granules (urea/formaldehyde) and allowed to dry. If desired, a coating can be applied (coated granules), which permits slow release of the active ingredient over a certain period.

The compounds of the formula I are employed in unaltered form, as obtained from synthesis, or, preferably, together with the auxiliaries conventionally used in the art of

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formulation, and they are therefore processed in a known manner to give, for example, emulsifiable concentrates, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granules and also encapsulations, for example in polymeric substances. The application methods such as spraying, atomising, dusting, wetting, scattering or pouring, as well as the type of the compositions are selected to suit the intended aims and the prevailing circumstances.

The formulations, i.e. the compositions, preparations or combinations comprising the active ingredient of the formula I and, if desired, one or more solid or liquid additives, are prepared in a known manner, for example by intimately mixing and/or grinding the active ingredients with extenders, for example solvents, solid carriers and, if desired, surface-active compounds (surfactants).

The following are possible as solvents: aromatic hydrocarbons, in particular the fractions  $C_8$  to  $C_{12}$ , such as mixtures of alkylbenzenes, for example xylene mixtures or alkylated naphthalenes; aliphatic and cycloaliphatic hydrocarbons such as paraffins, cyclohexane or tetrahydronaphthalene; alcohols such as ethanol, propanol or butanol; glycols as well as their ethers and esters, such as propylene glycol or dipropylene glycol ether, ketones such as cyclohexanone, isophorone or diacetone alcohol, strongly polar solvents such as N-methyl-2-pyrrolidone, dimethyl sulfoxide or water; vegetable oils and esters thereof, such as rapeseed oil, castor oil or soya oil; silicone oils may also be suitable.

Solid carriers which are used, for example for dusts and dispersible powders, are, as a rule, natural ground minerals such as calcite, talc, kaolin, montmorillonite or attapulgite. To improve the physical properties, it is also possible to add highly-disperse silica or highly-disperse absorptive polymers. Possible particulate, adsorptive carriers for granules are either porous types, for example pumice, brick grit, sepiolite or bentonite, or non-sorptive carrier materials, such as calcite or sand. Moreover, a large number of pregranulated materials of inorganic or organic nature can be used such as, in particular, dolomite or comminuted plant residues.

Suitable surface-active compounds are non-ionic, cationic and/or anionic surfactants having good emulsifying, dispersing and wetting properties, depending on the nature of the active ingredient of the formula I to be formulated. Surfactants are also to be understood as meaning mixtures of surfactants.

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Anionic surfactants which are suitable can be either so-called water-soluble soaps or water-soluble synthetic surface-active compounds.

Suitable soaps which may be mentioned are the alkali metal salts, alkaline earth metal salts or substituted or unsubstituted ammonium salts of higher fatty acids ( $C_{10}$ - $C_{22}$ ), such as, for example, the sodium salts or potassium salts of oleic or stearic acid, or of natural mixtures of fatty acids which can be obtained, for example, from coconut oil or tallow oil. Mention must also be made of the fatty acid methyltaurates.

However, so-called synthetic surfactants are used more frequently, in particular fatty alcohol sulfonates, fatty alcohol sulfates, sulfonated benzimidazole derivatives or alkylarylsulfonates.

The fatty alcohol sulfonates or fatty alcohol sulfates are, as a rule, in the form of alkali metal salts, alkaline earth metal salts or unsubstituted or substituted ammonium salts, and have an alkyl radical having 8 to 22 carbon atoms, alkyl also including the alkyl moiety of acyl radicals, for example the sodium salt or calcium salt of ligninsulfonic acid, of the dodecylsulfuric ester or of a fatty alcohol sulfate mixture prepared from natural fatty acids. This group also includes the salts of the sulfuric esters and sulfonic acids of fatty alcohol/ethylene oxide adducts. The sulfonated benzimidazole derivatives preferably contain 2 sulfonyl groups and one fatty acid radical having 8-22 carbon atoms. Examples of alkylarylsulfonates are the sodium, calcium or triethanolamine salts of dodecylbenzenesulfonic acid, of dibutyl-naphthalenesulfonic acid, or of a naphthalenesulfonic acid/formaldehyde condensation product.

Other suitable compounds are the corresponding phosphates, such as the salts of the phosphoric ester of p-nonylphenol/(4-14)-ethylene oxide adduct, or phospholipids.

Suitable non-ionic surfactants are mainly polyglycol ether derivatives of aliphatic or cycloaliphatic alcohols, saturated or unsaturated fatty acids and alkylphenols which can contain 3 to 30 glycol ether groups and 8 to 20 carbon atoms in the (aliphatic) hydrocarbon radical and 6 to 18 carbon atoms in the alkyl radical of the alkylphenols.

Other non-ionic surfactants which are suitable are the water-soluble polyethylene oxide adducts with polypropylene glycol, ethylenediaminopolypropylene glycol and alkylpolypropylene glycol which have 1 to 10 carbon atoms in the alkyl chain and which

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contain 20 to 250 ethylene glycol ether groups and 10 to 100 propylene glycol ether groups. The abovementioned compounds customarily contain 1 to 5 ethylene glycol units per propylene glycol unit.

Examples of non-ionic surfactants which may be mentioned are nonylphenolpolyethoxyethanols, castor oil polyglycol ethers, polypropylene/polyethylene oxide adducts, tributylphenoxypolyethoxyethanol, polyethylene glycol and octylphenoxypolyethoxyethanol.

Other suitable substances are fatty acid esters of polyoxyethylenesorbitan, such as polyoxyethylenesorbitan trioleate.

The cationic surfactants are mainly quaternary ammonium salts which contain at least one alkyl radical having 8 to 22 carbon atoms as N substituents and which have lower halogenated or free alkyl, benzyl or lower hydroxyalkyl radicals as further substituents. The salts are preferably in the form of halides, methylsulfates or ethylsulfates, for example stearyltrimethylammonium chloride or benzyldi(2-chloroethyl)ethylammonium bromide.

The surfactants conventionally used in the art of formulation are described, inter alia, in the following publications:

- "Mc Cutcheon's Detergents and Emulsifiers Annual", Mc Publishing Corp., Glen Rock, New Jersey, 1988.
- M. and J. Ash, "Encyclopedia of Surfactants", Vol. I-III, Chemical Publishing Co., New York, 1980-1981.
- Dr. Helmut Stache "Tensid-Taschenbuch" [Surfactant Guide], Carl Hanser Verlag, Munich/Vienna, 1981.

As a rule, the preparations comprise 0.1 to 99 %, in particular 0.1 to 95 %, of active ingredient of the formula I, 1 to 99 % of the solid or liquid additive and 0 to 25 %, in particular 0.1 to 25 %, of a surfactant.

While concentrated compositions are more preferred as commercial goods, the end user, as a rule, uses dilute compositions.

The compositions can also comprise further additions such as stabilisers, for example

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epoxidised or unepoxidised vegetable oils (epoxidised coconut oil, rapeseed oil or soya oil), defoamers, for example silicone oil, preservatives, viscosity regulators, binders, tackifiers as well as fertilisers or other active ingredients for achieving specific effects.

Preferred formulations have in particular the following compositions:

(% = per cent by weight)

Emulsifiable concentrates:

Active ingredient:	1 to 20 %, preferably 5 to 10 %
Surface-active agent:	5 to 30 %, preferably 10 to 20 %
Liquid carrier:	15 to 94 %, preferably 70 to 85 %

Dusts:

Active ingredient:	0.1 to 10 %, preferably 0.1 to 1 %
Solid carrier:	99.9 to 90 %, preferably 99.9 to 99 %

Suspension concentrates:

Active ingredient:	5 to 75 %, preferably 10 to 50 %
Water:	94 to 24 %, preferably 88 to 30 %
Surface-active agent:	1 to 40 %, preferably 2 to 30 %

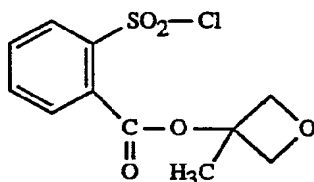
Wettable powders:

Active ingredient:	0.5 to 90 %, preferably 1 to 80 %
Surface-active agent:	0.5 to 20 %, preferably 1 to 15 %
Solid carrier:	5 to 95 %, preferably 15 to 90 %

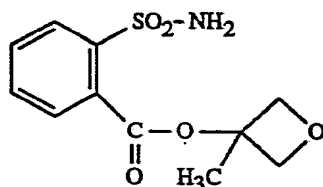
Granules:

Active ingredient:	0.5 to 30 %, preferably 3 to 15 %
Solid carrier:	99.5 to 70 %, preferably 97 to 85 %

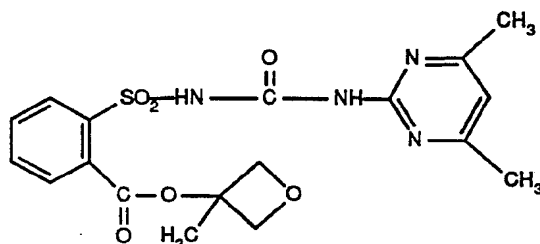
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Preparation Examples:Example H1:2-(3-Methyloxetan-3-oxycarbonyl)phenylsulfonyl chloride

A mixture of 6.25 g of 3-methyl-3-hydroxyoxetane, 16.1 g of 2-chlorosulfonylbenzoyl chloride and 40 ml of absolute methylene chloride is treated at a temperature from 0 to 5°C with 5.6 g of pyridine (dissolved in 10 ml of absolute methylene chloride). The reaction mixture is subsequently stirred for 2 hours at a temperature from 20 to 25°C and then poured into 100 ml of ice-water. After the organic phase has been separated off and dried over  $\text{MgSO}_4$ , a methylene chloride solution of the title compound is obtained which is employed in Example H2 without further working-up.

Example H2:2-(3-Methyloxetan-3-oxycarbonyl)phenylsulfonamide

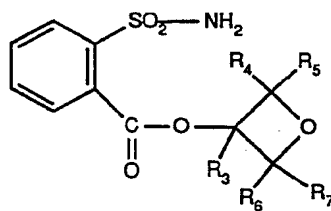
1.5 g of ammonia are passed for 1 hour at a temperature from 0 to 5°C into a methylene solution obtained as in Example H1. The mixture is filtered and then treated with ice-water, and the organic phase is separated off, washed with water and dried over  $\text{MgSO}_4$ . After concentration in vacuo, 2.1 g of the crystalline title compound with a melting point of 113-115°C remain.

Example H3:N-[2-(3-Methyloxetan-3-oxycarbonyl)phenylsulfonyl]-N'-(4,6-dimethyl-1,3-pyrimidinyl) urea

A mixture of 1.36 g of 2-(3-methyloxetan-3-oxycarbonyl)phenylsulfonamide, 1.35 g of 4,6-dimethyl-1,3-pyrimidinyl phenylcarbamate and 4 ml of absolute dimethylformamide are treated dropwise at 20 to 25°C with a mixture of 0.78 g of diazabicyclo-[5.4.0]undec-7-ene and 1 ml of dimethylformamide and subsequently stirred for 4 hours at a temperature from 20 to 25°C. After the mixture has been transferred into water and 10 % hydrochloric acid have been added to bring the pH to 5, 1.72 g of the title compound with a melting point of 196-198°C (decomposition) crystallise out.

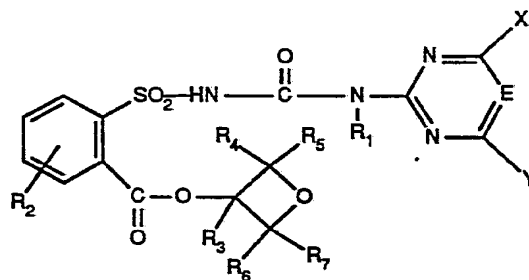
The compounds of the formula I which are listed in the tables which follow and the intermediates thereof are prepared analogously.

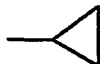
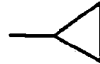
Table 1: Intermediates of the formula



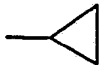
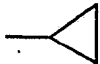
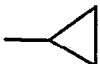
Comp. No.	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	R <sub>6</sub>	R <sub>7</sub>	M.p. [°C]
1.001	CH <sub>3</sub>	H	H	H	H	113-115
1.002	CH <sub>3</sub>	CH <sub>3</sub>	H	H	H	Oil
1.003	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	
1.004	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	H	
1.005	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	
1.006	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	

Table 2: Compounds of the formula I




Comp. No.	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	R <sub>6</sub>	R <sub>7</sub>	X	Y	E [M.p.°C]
2.001	H	H	CH <sub>3</sub>	H	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH 196-198
2.002	H	H	CH <sub>3</sub>	H	H	H	H	CH <sub>3</sub>	OCH <sub>3</sub>	CH 183-185
2.003	H	H	CH <sub>3</sub>	H	H	H	H	OCH <sub>3</sub>	OCH <sub>3</sub>	CH
2.004	H	H	CH <sub>3</sub>	H	H	H	H	OCH <sub>3</sub>	OCHF <sub>2</sub>	CH
2.005	H	H	CH <sub>3</sub>	H	H	H	H	Cl	OCH <sub>3</sub>	CH
2.006	H	H	CH <sub>3</sub>	H	H	H	H	CH <sub>3</sub>	OCH <sub>3</sub>	N 130-132
2.007	H	H	CH <sub>3</sub>	H	H	H	H	OCH <sub>3</sub>		N
2.008	H	H	CH <sub>3</sub>	H	H	H	H	OCH <sub>3</sub>	OCH <sub>3</sub>	N
2.009	H	H	CH <sub>3</sub>	H	H	H	H	HNCH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	N
2.010	H	H	H	CH <sub>3</sub>	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH 120
2.011	H	H	H	CH <sub>3</sub>	H	H	H	CH <sub>3</sub>	OCH <sub>3</sub>	CH 173-175
2.012	H	H	H	CH <sub>3</sub>	H	H	H	OCH <sub>3</sub>	OCH <sub>3</sub>	CH
2.013	H	H	H	CH <sub>3</sub>	H	H	H	OCH <sub>3</sub>	OCHF <sub>2</sub>	CH
2.014	H	H	H	CH <sub>3</sub>	H	H	H	CH <sub>3</sub>	OCHF <sub>2</sub>	CH
2.015	H	H	H	CH <sub>3</sub>	H	H	H	OCHF <sub>2</sub>	OCHF <sub>2</sub>	CH
2.016	H	H	H	CH <sub>3</sub>	H	H	H	Cl	OCH <sub>3</sub>	CH
2.017	H	H	H	CH <sub>3</sub>	H	H	H	CH <sub>3</sub>	OCH <sub>3</sub>	N 159-161
2.018	H	H	H	CH <sub>3</sub>	H	H	H	OCH <sub>3</sub>		N
2.019	H	H	H	CH <sub>3</sub>	H	H	H	OCH <sub>3</sub>	OCH <sub>3</sub>	N
2.020	H	H	H	CH <sub>3</sub>	H	H	H	HNCH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	N
2.021	H	H	H	CH <sub>3</sub>	H	H	H	N(CH <sub>3</sub> ) <sub>2</sub>	OCH <sub>3</sub>	N
2.022	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH



Comp. No.	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	R <sub>6</sub>	R <sub>7</sub>	X	Y	E [M.p.°C]
2.023	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	CH <sub>3</sub>	OCH <sub>3</sub>	CH
2.024	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	OCH <sub>3</sub>	OCH <sub>3</sub>	CH
2.025	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	OCH <sub>3</sub>	OCHF <sub>2</sub>	CH
2.026	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	Cl	OCH <sub>3</sub>	CH
2.027	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	OCH <sub>3</sub>	CH <sub>3</sub>	N
2.028	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	OCH <sub>3</sub>		N
2.029	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	OCH <sub>3</sub>	OCH <sub>3</sub>	N
2.030	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	HNCH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	N
2.031	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	CH
2.032	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	H	CH <sub>3</sub>	OCH <sub>3</sub>	CH
2.033	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	H	OCH <sub>3</sub>	OCH <sub>3</sub>	CH
2.034	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	H	OCH <sub>3</sub>	OCHF <sub>2</sub>	CH
2.035	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	H	Cl	OCH <sub>3</sub>	CH
2.036	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	H	OCH <sub>3</sub>	CH <sub>3</sub>	N
2.037	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	H	OCH <sub>3</sub>		N
2.038	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	H	OCH <sub>3</sub>	OCH <sub>3</sub>	N
2.039	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	H	HNCH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	N
2.040	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH
2.041	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	CH
2.042	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	OCH <sub>3</sub>	CH
2.043	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	OCHF <sub>2</sub>	CH
2.044	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	Cl	OCH <sub>3</sub>	CH
2.045	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	CH <sub>3</sub>	N
2.046	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>		N
2.047	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	OCH <sub>3</sub>	N
2.048	H	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	HNCH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	N
2.049	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH
2.050	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	CH
2.051	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	N
2.052	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	OCH <sub>3</sub>	N
2.053	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	OCH <sub>3</sub>	CH

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Comp. No.	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	R <sub>6</sub>	R <sub>7</sub>	X	Y	E [M.p.°C]
2.054	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>	OCHF <sub>2</sub>	CH
2.055	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	Cl	OCH <sub>3</sub>	CH
2.056	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	HNCH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	CH
2.057	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>		CH

Formulation examples of active ingredients of the formula I

(% = per cent by weight)

F1. Wettable powders

	a)	b)	c)
Active ingredient according to			
Table 2	20 %	50 %	0.5 %
Sodium ligninsulfonate	5 %	5 %	5 %
Sodium lauryl sulfate	3 %	-	-
Sodium diisobutyl-naphthalenesulfonate	-	6 %	6 %
Octylphenol polyethylene glycol ether (7-8 moles of EO)	-	2 %	2 %
Highly-disperse silica	5 %	27 %	27 %
Kaolin	67 %	-	-
Sodium chloride	-	-	59.5 %

The active ingredient is mixed thoroughly with the additives and the mixture is ground thoroughly in a suitable mill. This gives wettable powders which can be diluted with water to give suspensions of any desired concentration.

F2. Water-dispersible granules

	a)	b)
Active ingredient according to		
Table 2	75 %	5 %
Sodium dibutyl-naphthalenesulfonate	2 %	0.5 %
Gum arabic	1 %	1 %
Sodium sulfate	5 %	3 %
Sodium ligninsulfonate	17 %	15 %
Kaolin	-	75.5 %

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Emulsions of any desired concentration can be prepared from such concentrates by dilution with water.

F3. Dusts

	a)	b)
Active ingredient according to Table 2	0.1 %	1 %
Talc	99.9 %	-
Kaolin	-	99 %

Ready-for-use dusts are obtained by intimately mixing the carriers with the active ingredient.

F4. Extruder granules

	a)	b)
Active ingredient according to Table 2	10 %	1 %
Sodium ligninsulfonate	2 %	2 %
Carboxymethylcellulose	1 %	1 %
Kaolin	87 %	96 %

The active ingredient is mixed with the additives, and the mixture is ground and moistened with water. This mixture is extruded and subsequently dried in a stream of air.

F5. Coated granules

Active ingredient according to Table 2	3 %	
Polyethylene glycol (MW200)		3 %
Kaolin		94 %

In a mixer, the kaolin which has been moistened with polyethylene glycol is coated uniformly with the finely-ground active ingredient. Dust-free coated granules are obtained in this manner.

F6. Suspension concentrate

	a)	b)
Active ingredient according to Table 2	5 %	40 %
Ethylene glycol	10 %	10 %

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Nonylphenol polyethylene glycol ether (15 moles of EO)	1 %	6 %
Sodium ligninsulfonate	5 %	10 %
Carboxymethylcellulose	1 %	1 %
37 % aqueous formaldehyde solution	0.2 %	0.2 %
Silicone oil in the form of a 75 % aqueous emulsion	0.8 %	0.8 %
Water	77 %	32 %

The finely ground active ingredient is mixed intimately with the additives. This gives a suspension concentrate from which suspensions of any desired concentration can be prepared by dilution with water.

F7. Salt solution

Active ingredient according to Table 2	5 %	
Isopropylamine		1 %
Octylphenol polyethylene glycol ether (78 moles of EO)		3 %
Water		91 %

Biological ExamplesExample B1: Herbicidal action before emergence of the plants

Plastic pots are filled with expanded vermiculite (density: 0.135 g/cm<sup>3</sup>, water adsorption capacity: 0.565 l/l). The non-adsorptive vermiculite is saturated with an aqueous active ingredient emulsion in deionised water which comprises the active ingredients at a concentration of 70 ppm, and seeds of the following plants are then sown onto the surface: *Nasturtium officinalis*, *Agrostis tenuis*, *Stellaria media* and *Digitaria sanguinalis*. The test containers are then kept in a controlled-environment cabinet at a temperature of 20°C, an illumination of approx. 20 kLux and a relative atmospheric humidity of 70 %. During a germination phase of 4 to 5 days, the pots are covered with translucent material to increase the local atmospheric humidity and watered with deionised water. After day 5, 0.5 % of a commercially available liquid fertiliser is added to the irrigation water. 12 days after sowing, the test is evaluated and the effect on the test plants is assessed using the following key:

- 1 : plant not germinated or completely dead
- 2-3 : very powerful action
- 4-6 : medium action
- 7-8 : poor action
- 9 : no action (like untreated control)

Table B1: Preemergence action

Concentration of active ingredient emulsion: 70 ppm

Test plant:	<i>Nasturtium</i>	<i>Stellaria</i>	<i>Agrostis</i>	<i>Digitaria</i>
Active				
Ingredient No.				
2.001	1	2	1	2
2.002	3	3	1	2
2.006	1	2	2	1
2.010	2	2	2	2
2.011	2	2	1	2
2.017	2	2	2	2

Example B2: Post-emergence herbicidal action (contact herbicide)

A number of monocotyledon and dicotyledon weeds were sprayed after emergence (in the 4- to 6-leaf stage) with an aqueous dispersion of active ingredient according to Example F6 at a dosage rate of 8-500 g of active ingredient per hectare, and the plants were kept at 24°-26°C and a relative atmospheric humidity of 45-60 %. The test is evaluated 15 days after the treatment.

After 3 weeks, the herbicidal action is assessed using a 9-step (1 = complete damage, 9 = no action) score key in comparison with an untreated control group. Score figures from 1 to 4 (in particular 1 to 3) suggest good to very good herbicidal action. Score figures from 6 to 9 (in particular from 7 to 9) suggest a good tolerance (in particular in crop plants).

In this test, the compounds of the formula I show a powerful herbicidal action. Identical results are obtained when the compounds of the formula I are formulated according to Examples F1 to F5 and F7.

Example B3: Post-emergence phytotoxic effects of herbicide No. 2.011 and of the mixtures herbicide with safeners of the formula S1 and S2 in cereals

Wheat is grown in plastic pots under greenhouse conditions up to the 3-leaf stage. At this stage, a herbicide of the formula I as pure active ingredient as well as a mixture of the herbicide with the safeners are applied to the test plants. Application is effected in the form of an aqueous suspension of the test substances using 500 l of water/ha. The application rates of the herbicide are 30/15/8 g/ha, the application rates of the safeners 60 g/ha. 28 days after application, the test is evaluated using a percentage key. 100 % means that the test plant has died, 0 % means no phytotoxic effect. The results demonstrate that the safeners employed are capable of noticeably reducing the herbicide damage in wheat. Examples of the good protective action of the safeners are listed in Table B3.

Table B3:

Herbicide Comp. No.	Safener, g/ha	Dosage rate of herbicide in g/ha		
		30	15	8
2.011	-	80	70	60
2.011	S1 60	60	20	15
2.011	S2 60	60	25	10

Example B4: Post-emergence phytotoxic effects of herbicide No. 2.011 and of the mixtures herbicide with safeners of the formula S3 in maize

Maize is grown in plastic pots under greenhouse conditions up to the 2.5-leaf stage. At this stage, a herbicide of the formula I as pure active ingredient as well as a mixture of the herbicide with the safener of the formula S3 are applied to the test plants. Application is effected in the form of an aqueous suspension of the test substances using 500 l of water/ha. The application rates of the herbicide are 30/15/8 g/ha, the application rates of the safener 60 g/ha. 12 days after application, the test is evaluated using a percentage key. 100 % means that the test plant has died, 0 % means no phytotoxic effect. The results demonstrate that the safener employed is capable of noticeably reducing the herbicide damage in maize. Examples of the good protective action of the safener are listed in Table B4.

Table B4:

Herbicide Comp. No.	Safener, g/ha	30	15	8
2.011	-	90	80	75
2.011	S3 60	65	50	30

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Example B5: Use of a mixture of herbicide No. 2.011 with safener of the formula S4 for seed dressing in maize

Maize seed is dressed with the safener of the formula S4 at an equivalent of a dosage rate of 1 g/kg of seed. The maize is subsequently grown in plastic pots under greenhouse conditions until it has reached the 2.5-leaf stage. Untreated maize is grown parallel with treated maize up to the same stage. At this stage, the herbicide of the formula I is applied to treated and untreated test plants. Application is effected in the form of an aqueous suspension of the herbicide at 500 l of water/ha. The application rate of the herbicide is 30 or 15 g/ha, and the application rate of the seed-dressing safener of the formula S4 is 1 g/kg of seed. 14 days after application, the test is evaluated using a percentage key. 100 % means that the test plant has died, 0 % means no phytotoxic effect. The results demonstrate that the safener used as seed-dressing agent noticeably reduces the damaged caused by post-emergence application of the herbicide. Similar results are obtained when the herbicide is applied preemergence. Examples of the good action of the safener of the formula S4 are shown in Table B5:

Table B5:

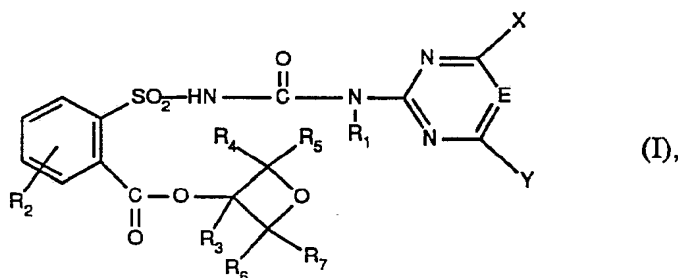
Herbicide Comp. No.	Safener, g/ha	30	15	8
2.011	-	90	80	75
2.011	S4 60	20	10	05



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WHAT IS CLAIMED IS:

1. A compound of the formula I



in which

 $R_1$  is hydrogen or methyl; $R_2$  is hydrogen, fluorine, chlorine, bromine, iodine,  $(W)_n-R_8$ ,  $-NO_2$ ,  $-N(R_9)R_{10}$ ,
$$-O-\underset{\substack{| \\ R_{12}}}{\text{C}}-\text{C}\equiv\text{CR}_{11} \text{ or } -\text{CN};$$
 $R_3, R_4, R_5, R_6$  and  $R_7$  independently of one another are hydrogen or  $C_1$ - $C_4$ alkyl; $R_8$  is  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ alkyl which is substituted by 1, 2, 3 or 4 halogen atoms, $C_1$ - $C_3$ alkoxy or  $C_1$ - $C_3$ alkylthio, or is  $C_2$ - $C_4$ alkenyl or  $C_2$ - $C_4$ alkenyl which is substituted by 1, 2, 3 or 4 halogen atoms; $R_9$  is hydrogen, methoxy, ethoxy or  $C_1$ - $C_4$ alkyl; $R_{10}$  is hydrogen or  $C_1$ - $C_4$ alkyl; $R_{11}$  is hydrogen, methyl or ethyl; $R_{12}$  is hydrogen or methyl;E is  $-\text{CH}=\text{}$  or  $-\text{N}=\text{}$ ;

X is  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ alkoxy,  $C_1$ - $C_4$ haloalkoxy,  $C_1$ - $C_4$ haloalkyl,  $C_1$ - $C_4$ haloalkylthio,  $C_1$ - $C_4$ alkylthio, halogen,  $C_2$ - $C_5$ alkoxyalkyl,  $C_2$ - $C_5$ alkoxyalkoxy, amino,  $C_1$ - $C_3$ alkylamino or di- $(C_1$ - $C_3$ alkyl)amino;

Y is  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ alkoxy,  $C_1$ - $C_4$ haloalkoxy,  $C_1$ - $C_4$ haloalkylthio,  $C_1$ - $C_4$ alkylthio,  $C_2$ - $C_5$ alkoxyalkyl,  $C_2$ - $C_5$ alkoxyalkoxy,  $C_2$ - $C_5$ alkylthioalkyl, cyclopropyl or  $-\text{OCHF}_2$ ;

W is oxygen, sulfur, SO or  $\text{SO}_2$ ; and

n is the number 0 or 1;

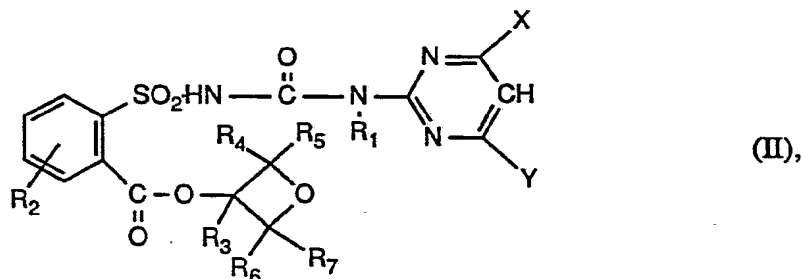
and a salt thereof;

with the proviso that

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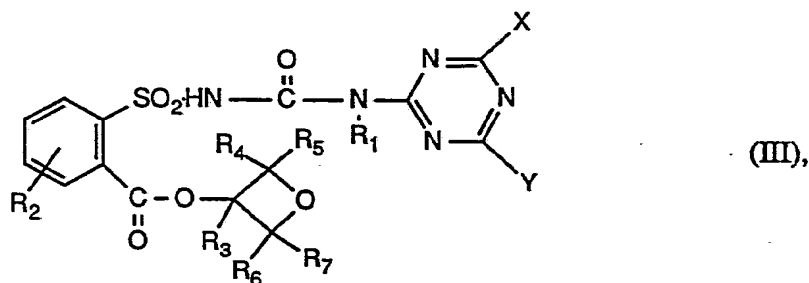
- a) at least one of the radicals  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  is  $C_1$ - $C_4$ alkyl,
- b) E is  $-CH=$  when X is halogen and
- c) E is  $-CH=$  when X or Y are  $-OCHF_2$  or  $-SCHF_2$ .

2. A compound according to claim 1, of the formula II



in which  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ , X and Y are as defined in claim 1.

3. A compound according to claim 1, of the formula III



in which  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ , X and Y are as defined in claim 1.

- 4. A compound according to any one of claims 1 to 3, in which  $R_2$  is hydrogen.
- 5. A compound according to any one of claims 1 to 4, in which  $R_3$  is hydrogen.
- 6. A compound according to any one of claims 1 to 5, in which  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  are hydrogen.
- 7. A compound according to any one of claims 1 to 6, in which  $R_1$  is hydrogen.
- 8. A compound according to any one of claims 1 to 3, in which  $R_1$  and  $R_2$  are hydrogen,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  independently of one another are hydrogen or methyl, E is  $-CH=$  or  $-N=$ , X is methyl, methoxy, methylamino, dimethylamino, difluoromethoxy or chlorine

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and Y is methyl, methoxy, difluoromethoxy, ethoxy or cyclopropyl, with the proviso that

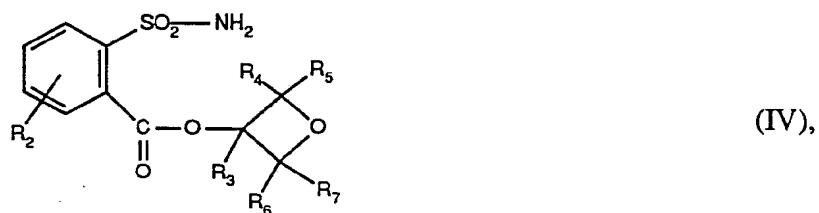
a) at least one of the radicals  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  is methyl,

b) E is  $-\text{CH}=\text{}$  when X is chlorine, and

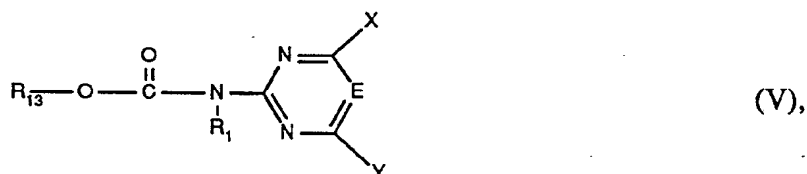
c) E is  $-\text{CH}=\text{}$  when X or Y is  $-\text{OCHF}_2$ .

9. A process for the preparation of a compound of the formula I according to claim 1, which comprises

a) reacting a phenylsulfonamide of the formula IV

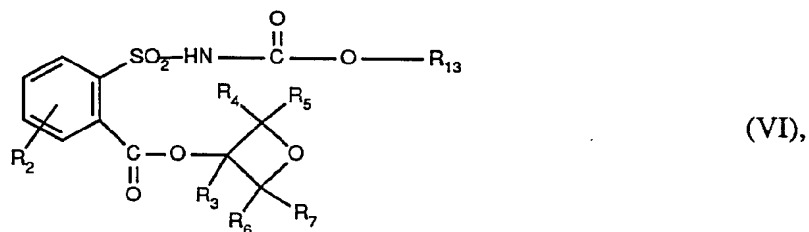


in which  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  are as defined in claim 1, with a pyrimidinyl carbamate or triazinyl carbamate of the formula V



in which  $R_1$ , E, X and Y are as defined in claim 1 and  $R_{13}$  is phenyl or phenyl which is substituted by  $\text{C}_1$ - $\text{C}_4$ alkyl or halogen, in the presence of a base, or

b) reacting a sulfonylcarbamate of the formula VI



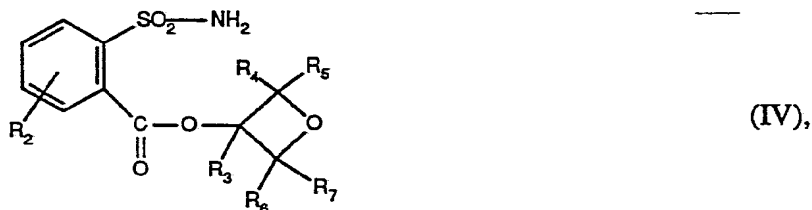
in which  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  are as defined in claim 1 and  $R_{13}$  is as defined in formula V, with an amine of the formula VII



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in which  $R_1$ , E, X and Y are as defined in claim 1, in the presence of a base, or

c) reacting a phenylsulfonamide of the formula IV

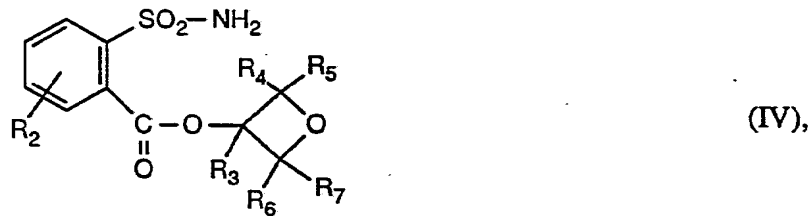


in which  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  are as defined in claim 1, with a pyrimidinyl isocyanate or triazinyl isocyanate of the formula VIII



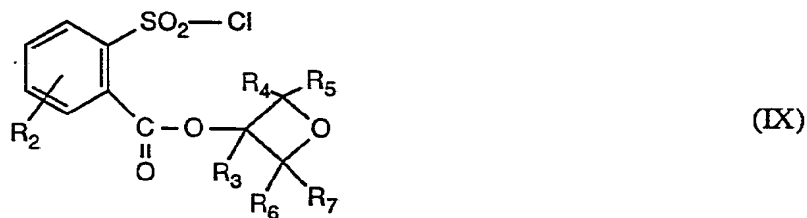
in which E, X and Y are as defined in formula I, in the presence of a base.

10. A phenylsulfonamide of the formula IV



in which  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  are as defined in claim 1.

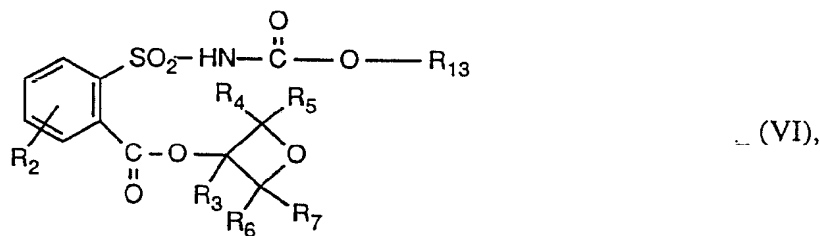
11. A phenylsulfonyl chloride of the formula IX



in which  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  are as defined in claim 1.

12. A sulfonylcarbamate of the formula VI

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in which  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  are as defined in claim 1, and  $R_{13}$  is phenyl or phenyl which is substituted by  $C_1$ - $C_4$ alkyl or halogen.

13. A herbicidal and plant-growth-inhibiting composition, which comprises one or more sulfonylureas of the formula I according to claim 1.

14. A composition according to claim 13, which comprises between 0.1 % and 95 % of active ingredient of the formula I according to claim 1.

15. A method of controlling undesirable plant growth, which comprises applying an effective amount of an active ingredient of the formula I according to claim 1, a composition comprising this active ingredient, to the plants or their environment.

16. A method according to claim 15, wherein an amount of active ingredient of between 0.001 and 2 kg per hectare is applied.

17. A method of inhibiting the growth of plants, which comprises applying an effective amount of an active ingredient of the formula I according to claim 1, or a composition comprising this active ingredient, to the plants or their environment.

18. A method according to claim 15 for the selective preemergence or post-emergence control of weeds in crops of useful plants.

19. The use of a composition according to claim 13 for the selective preemergence or post-emergence control of weeds in crops of useful plants.

20. A composition for the selective control of weeds in crops of useful plants, which comprises, besides inert carriers and additives, a mixture which comprises

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a) a herbicidally active amount of a compound of the formula I according to claim 1 or a compound of the formula I, wherein  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  simultaneously are hydrogen, and

b) a herbicide-antagonistically effective amount of a safener selected from the classes of the quinoline-8-oxyacetic acid derivatives, diphenylcarboxylic acid derivatives and sulfamoylphenylurea derivatives, as active ingredient.

21. A method for the selective control of weeds and grasses in crops of useful plants which comprises treating the crops, their seed or the area under cultivation simultaneously or independently of one another with an effective amount of a herbicide of the formula I according to claim 1 or a herbicide of the formula I, wherein  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  simultaneously are hydrogen, and a herbicide-antagonistically effective amount of a safener selected from the classes of the quinoline-8-oxyacetic acid derivatives, diphenylcarboxylic acid derivatives and sulfamoylphenylurea derivatives.

22. A process according to claim 21, which comprises treating stems of crop plants or areas under the cultivation of crop plants with 0.001 to 2 kg/ha of a compound of the formula I according to claim 1 or a herbicide of the formula I, wherein  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and  $R_7$  simultaneously are hydrogen, in an amount of 0.005 to 0.5 kg/ha of a safener selected from the classes of the quinoline-8-oxyacetic acid derivatives, diphenylcarboxylic acid derivatives and sulfamoylphenylurea derivatives.

# INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 93/00316

<b>I. CLASSIFICATION OF SUBJECT MATTER</b> (if several classification symbols apply, indicate all) <sup>6</sup>		
According to International Patent Classification (IPC) or to both National Classification and IPC Int.Cl. 5 C07D405/12;                      C07D305/08;                      A01N47/36		
<b>II. FIELDS SEARCHED</b>		
Minimum Documentation Searched <sup>7</sup>		
Classification System	Classification Symbols	
Int.Cl. 5	C07D	
Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in the Fields Searched <sup>8</sup>		
<b>III. DOCUMENTS CONSIDERED TO BE RELEVANT<sup>9</sup></b>		
Category <sup>o</sup>	Citation of Document, <sup>11</sup> with indication, where appropriate, of the relevant passages <sup>12</sup>	Relevant to Claim No. <sup>13</sup>
X,P	EP,A,0 496 701 (CIBA-GEIGY AG) 29 July 1992 see the whole document ---	1-9, 13-22
X	EP,A,0 007 687 (E.I. DU PONT DE NEMOURS AND COMPANY) 6 February 1980 cited in the application see page 34, line 25; claims 1,9-11,14 ---	1,9, 13-22
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<div style="display: flex; justify-content: space-between;"> <div style="width: 45%;"> <p><sup>o</sup> Special categories of cited documents : <sup>10</sup></p> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p> </div> <div style="width: 45%;"> <p>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step</p> <p>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.</p> <p>"&amp;" document member of the same patent family</p> </div> </div>		
<b>IV. CERTIFICATION</b>		
Date of the Actual Completion of the International Search  <div style="text-align: center;">13 APRIL 1993</div>	Date of Mailing of this International Search Report  <div style="text-align: center;">29. 04. 93</div>	
International Searching Authority  <div style="text-align: center;">EUROPEAN PATENT OFFICE</div>	Signature of Authorized Officer  <div style="text-align: center;">P. BOSMA</div>	

**ANNEX TO THE INTERNATIONAL SEARCH REPORT  
ON INTERNATIONAL PATENT APPLICATION NO.**

EP 9300316  
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This annex lists the patent family members relating to the patent documents cited in the above-mentioned international search report.  
The members are as contained in the European Patent Office EDP file on  
The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information. 13/04/93

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